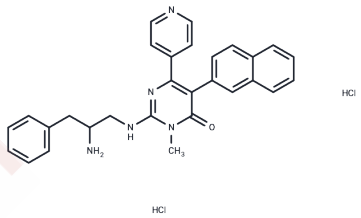
Data Sheet (Cat.No.T10298L2)

TargetMol

AMG-548 dihydrochloride (864249-60-5 free base)

Chemical Properties



CAS No. :

Formula: C29H29Cl2N5O

Molecular Weight: 534.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	AMG-548 dihydrochloride is an orally active and selective p38α inhibitor (Ki: 0.5 nM) and shows slightly selective over p38β (Ki: 36 nM) and >1000 fold selective against p38γ/p38δ. It is also extremely potent in the inhibition of whole blood LPS stimulated TNFα (IC50: 3 nM).
Targets(IC50)	p38 MAPK
In vitro	AMG-548 dihydrochloride has a modest selectivity against JNK2 (Ki: 39 nM) and JNK3 (Ki: 61 nM). It is also extremely potent in the inhibition of whole blood LPS stimulated TNFa (IC50: 3 nM) and IL1b (IC50: 7 nM) as well as TNFa induced IL-8 (IC50: 0.7 nM) and IL-1b induced IL-6 (IC50: 1.3 nM) in human whole blood [1]. AMG-548 dihydrochloride (10 μM) inhibits the hDvl2 shift [2].
In vivo	AMG-548 dihydrochloride has rat F of 62% and dog F of 47%. The t1/2 is 4.6 hours in rats and 7.3 hours in dogs [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.871 mL	9.3549 mL	18.7098 mL
5 mM	0.3742 mL	1.871 mL	3.742 mL
10 mM	0.1871 mL	0.9355 mL	1.871 mL
50 mM	0.0374 mL	0.1871 mL	0.3742 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lee MR, et al. MAP kinase p38 inhibitors: clinical results and an intimate look at their interactions with p38alphaprotein. Curr Med Chem. 2005;12(25):2979-94.
Verkaar F, et al. Inhibition of Wnt/β-catenin signaling by p38 MAP kinase inhibitors is explained by cross-reactivity with casein kinase Iδ/. Chem Biol. 2011 Apr 22;18(4):485-94.